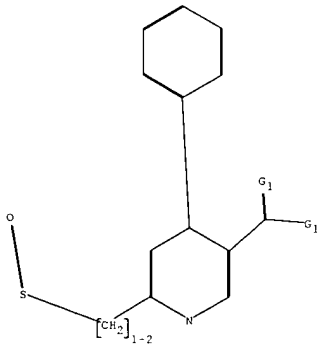
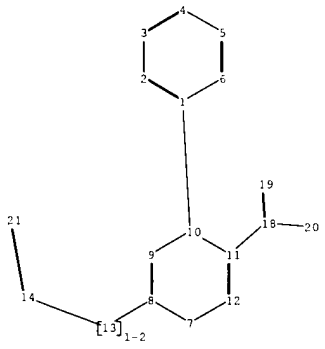
```
=> d his


     (FILE 'HOME' ENTERED AT 05:43:37 ON 25 MAR 2002)

     FILE 'REGISTRY' ENTERED AT 05:43:49 ON 25 MAR 2002
L1                STRUCTURE UPLOADED
L2             12 S L1
L3            185 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 05:45:00 ON 25 MAR 2002
L4             16 S L3
L5              8 S L3/THU
L6              0 S L5 AND BULLINGTON, J?/AU

     FILE 'CAOLD' ENTERED AT 05:49:51 ON 25 MAR 2002

=> s l3

L7              0 L3
```

STN Structure : 9580882c.str





chain nodes :
13 18 19 20 21
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
ring/chain nodes :
14
chain bonds :
1-10 8-13 11-18 13-14 14-21 18-19 18-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
7-8 7-12 8-9 9-10 10-11 11-12 14-21 18-19 18-20
exact bonds :
1-10 8-13 11-18 13-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:CLASS 14:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS

Connecting via Winsock to STN

Trying 3106016892...Open

Welcome to STN International! Enter x:x
LOGINID:ssspta1612BXR
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS 3	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS 4	Oct 09	Number of Derwent World Patents Index updates increased
NEWS 5	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS 6	Oct 22	Over 1 million reactions added to CASREACT
NEWS 7	Oct 22	DGENE GETSIM has been improved
NEWS 8	Oct 29	AAASD no longer available
NEWS 9	Nov 19	New Search Capabilities USPATFULL and USPAT2
NEWS 10	Nov 19	TOXCENTER(SM) - new toxicology file now available on STN
NEWS 11	Nov 29	COPPERLIT now available on STN
NEWS 12	Nov 29	DWPI revisions to NTIS and US Provisional Numbers
NEWS 13	Nov 30	Files VETU and VETB to have open access
NEWS 14	Dec 10	WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
NEWS 15	Dec 10	DGENE BLAST Homology Search
NEWS 16	Dec 17	WELDASEARCH now available on STN
NEWS 17	Dec 17	STANDARDS now available on STN
NEWS 18	Dec 17	New fields for DPCI
NEWS 19	Dec 19	CAS Roles modified
NEWS 20	Dec 19	1907-1946 data and page images added to CA and CAplus
NEWS 21	Jan 25	BLAST(R) searching in REGISTRY available in STN on the Web
NEWS 22	Jan 25	Searching with the P indicator for Preparations
NEWS 23	Jan 29	FSTA has been reloaded and moves to weekly updates
NEWS 24	Feb 01	DKILIT now produced by FIZ Karlsruhe and has a new update frequency
NEWS 25	Feb 19	Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 26	Mar 08	Gene Names now available in BIOSIS
NEWS 27	Mar 22	TOXLIT no longer available
NEWS 28	Mar 22	TRCTHERMO no longer available

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 05:43:37 ON 25 MAR 2002

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.15	0.15

FILE 'REGISTRY' ENTERED AT 05:43:49 ON 25 MAR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 22 MAR 2002 HIGHEST RN 402712-52-1
DICTIONARY FILE UPDATES: 22 MAR 2002 HIGHEST RN 402712-52-1

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the H/Z/CA/CAplus files between 12/27/01 and 1/23/02. Use of the P indicator in online and SDI searches during this period, either directly appended to a CAS Registry Number or by qualifying an L-number with /P, may have yielded incomplete results. As of 1/23/02, the situation has been resolved. Also, note that searches conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator between 12/27/01 and 1/23/02, are encouraged to re-run these strategies. Contact the CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698, worldwide, or send an e-mail to help@cas.org for further assistance or to receive a credit for any duplicate searches.

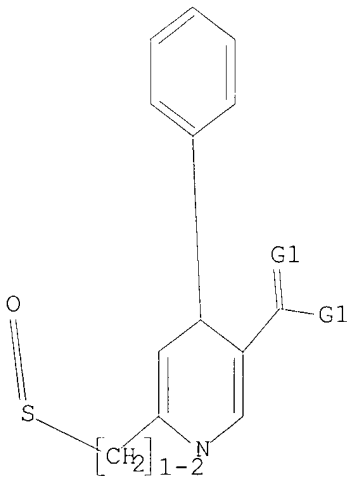
=>

Uploading 9580882c.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS
L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 05:44:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 23 TO ITERATE

100.0% PROCESSED 23 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 173 TO 747
PROJECTED ANSWERS: 33 TO 447

L2 12 SEA SSS SAM L1

=> s l1 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 139.90 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 05:44:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 326 TO ITERATE

100.0% PROCESSED 326 ITERATIONS 185 ANSWERS

SEARCH TIME: 00.00.02

L3 185 SEA SSS FUL L1

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.54	140.69

FILE 'HCAPLUS' ENTERED AT 05:45:00 ON 25 MAR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 25 Mar 2002 VOL 136 ISS 13
FILE LAST UPDATED: 22 Mar 2002 (20020322/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the CAS files between 12/27/01 and 1/23/02. As of 1/23/02, the situation has been resolved. Searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator executed between 12/27/01 and 1/23/02 may be incomplete. See the NEWS message on this topic for more information.

=> s l3

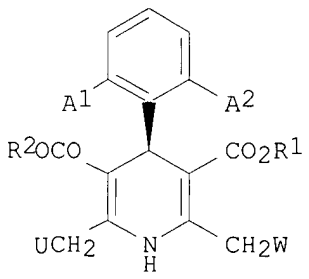
L4 16 L3

=> s l4/thu

FIELD CODES CANNOT BE CHANGED HERE
You may have tried to apply a field code to a term that already has a field code. You can only add a field code to a term that has no field code appended to it.

=> s l3/thu

```
           16 L3
       428947 THU/RL
L5          8 L3/THU
               (L3 (L) THU/RL)

=> s l5 and bullington, j?/au

           40 BULLINGTON, J?/AU
L6          0 L5 AND BULLINGTON, J?/AU

=> d l5, ibib abs fhitstr, 1-8


L5   ANSWER 1 OF 8  HCAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         1999:250272  HCAPLUS
DOCUMENT NUMBER:          130:332887
TITLE:                    Optically active 1,4-dihydropyridines as bradykinin
                          antagonists, their intermediates, preparation of
their
                          intermediates, and pharmaceutical compositions
                          containing them
INVENTOR(S):              Ikeda, Takafumi; Kawamura, Mitsuhiro; Katsura,
Gokutei
PATENT ASSIGNEE(S):       Pfizer Pharmaceutical Co., Ltd., Japan
SOURCE:                   Jpn. Kokai Tokkyo Koho, 51 pp.
                          CODEN: JKXXAF
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.   DATE
     ---------------   ----  --------       ---------------   --------
     JP 11106375        A2   19990420       JP 1998-218686    19980717
     EP 899261          A1   19990303       EP 1998-306202    19980804
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     US 6156752         A    20001205       US 1998-133580    19980813
     CA 2245041         AA   19990218       CA 1998-2245041   19980814
     BR 9803180         A    20000321       BR 1998-3180      19980818
PRIORITY APPLN. INFO.:                    WO 1997-IB1000   A  19970818
OTHER SOURCE(S):          MARPAT 130:332887
GI
```

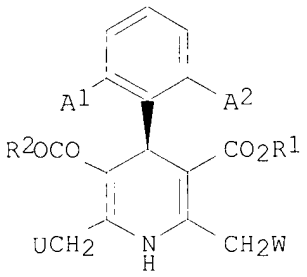


I, W = $C(O)YR^4$; U = $S(O)R^3$

II, W = CO_2H $NB^1B^2B^3$; U = $S(O)R^3$

III, W = CO_2Z; U = SR^3

IV, W = CO_2R; U = $S(O)R^3$



I, W = $C(O)YR^4$; U = $S(O)R^3$

II, W = CO_2H $NB^1B^2B^3$; U = $S(O)R^3$

III, W = CO_2Z; U = SR^3

IV, W = CO_2R; U = $S(O)R^3$

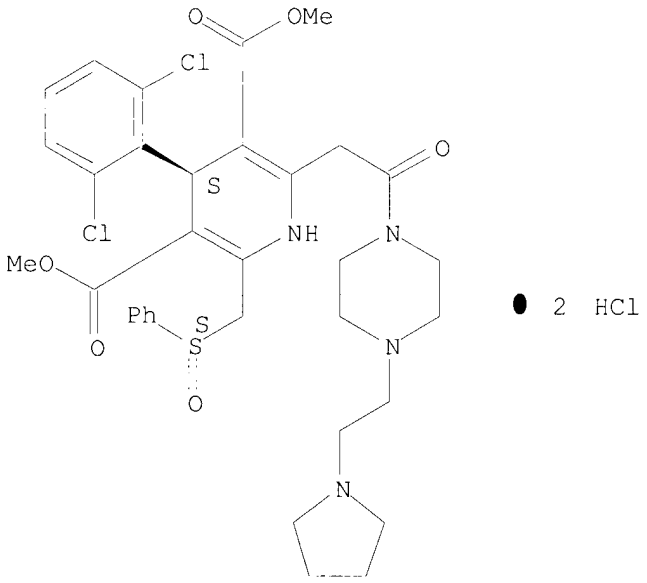
AB Bradykinin antagonists, 1,4-dihydroxypyridines I [A1, A2 = halo; R1, R2 = C1-4 alkyl; R3 = (substituted) Ph, naphthyl; Y = (substituted) heteocyclyl selected from C5-10 azacycloalkyl, C6-10 diazacycloalkyl, and C7-10 azabicycloalkyl; R4 = (substituted) C1-8 alkyl, (substituted) amino, (substituted) C2-6 alkanoyl, (substituted) C3-8 cycloalkyl, (substituted) C7-14 bicycloalkyl, (substituted) C5-10 azacycloalkyl, (substituted) C6-10 diazacycloalkyl, (substituted) C7-14 monoazabicycloalkyl, (substituted) C7-14 diazabicycloalkyl] or their pharmaceutically acceptable salts are useful for pharmaceutical compns. contg. pharmaceutically acceptable carriers, for treatment of inflammation, cardiovascular diseases, pain, cold, allergy, asthma, pancreatitis, burn, viral infection, head injury, Alzheimer's disease, or multiple trauma. 1,4-Dihydropyridines II [A1, A2 = halo; R1, R2 = C1-4 alkyl; R3 = (substituted) Ph, (substituted) naphthyl; B1B2B3NH+ = chiral amine residue] are prepd. by oxidn. of III (A1, A2, R1-R3 = same as above; Z = H, C1-6 alkyl) with oxidizing agents and fractional crystn. of the resulting S-oxides using chiral amines. 1,4-Dihydroxypyridines IV (A1, A2, R1-R3 = same as above; R = C1-4 alkyl) are prepd. by chiral oxidn. of diastereoselective oxidn. of III in the presence of oxidizing agents. Di-Me (-)-(4S)-4-(2,6-dichlorophenyl)-2-[4-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-1-piperazinyl]carbonylmethyl-6-(S)-phenylsulfinylmethyl-1,4-dihydropyridine-3,5-dicarboxylate dicitrate, which inhibited the binding of bradykinin to B2 receptors in IMR-90 cells with IC50 of 0.3-50 nM, was prepd. in 5 steps involving oxidn. and fractional crystn. using (+)-cinchonine and cinchonidine.

IT **224307-87-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of optically active 1,4-dihydropyridines as bradykinin antagonists for pharmaceutical compns.)

RN 224307-87-3 HCAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,6-dichlorophenyl)-1,4-dihydro-2-[2-oxo-2-[4-[2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]ethyl]-6-[[(S)-phenylsulfinyl]methyl]-, dimethyl ester, dihydrochloride, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



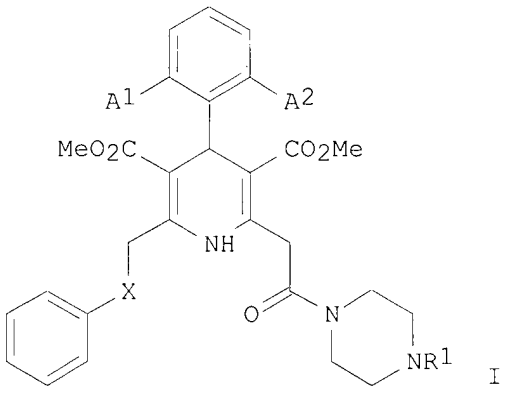
L5 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:587253 HCAPLUS
DOCUMENT NUMBER: 127:248125
TITLE: Preparation of 2-(piperazinylcarbonylmethyl)-3,5-bis(methoxycarbonyl)-1,4-dihydropyridines as bradykinin antagonists.
INVENTOR(S): Ikeda, Takafumi
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.; Ikeda, Takafumi
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730048	A1	19970821	WO 1997-IB58	19970127
W: AU, BG, BR, BY, CA, CN, CZ, HU, IL, IS, JP, KR, KZ, LK, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9713964	A1	19970902	AU 1997-13964	19970127
EP 882044	A1	19981209	EP 1997-900401	19970127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LV, FI				
CN 1211251	A	19990317	CN 1997-192240	19970127
JP 11507949	T2	19990713	JP 1997-529138	19970127
JP 3167335	B2	20010521		
BR 9707568	A	19990727	BR 1997-7568	19970127
ZA 9701357	A	19980818	ZA 1997-1357	19970218

NO 9803776	A	19980818	NO 1998-3776		19980818
US 6131226	A	20001017	US 1999-125137		19990201
PRIORITY APPLN. INFO.:			WO 1996-IB132	A	19960219
			WO 1997-IB58	W	19970127

OTHER SOURCE(S): MARPAT 127:248125

GI



I

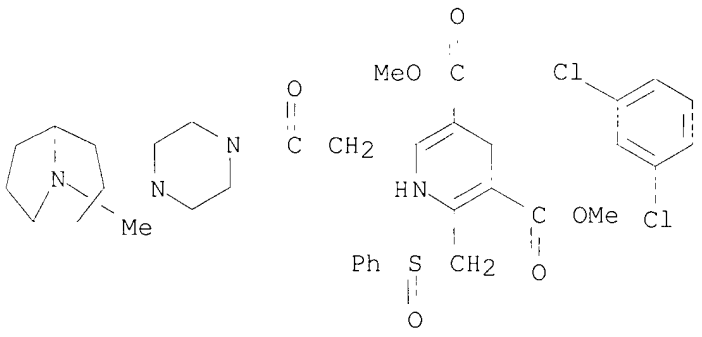
AB Title compds. [I; A1, A2 = halo; X = CO, SO2, SO(CH2)n; n = 0-2; R1 = 8-azabicyclo[3.2.1]octyl, quinuclidinyl, bicyclo[3.3.0]octyl, cycloalkyl, 2,3,5,6-tetrahydro-4H-thiopyranyl, (substituted) cycloalkylalkyl], were prepd. I have excellent bradykinin antagonistic activity and are useful for the treatment of inflammation, cardiovascular disease, pain, common cold, allergies, asthma, pancreatitis, burns, virus infection, head injury, or multiple trauma. Thus, Me 2-(4,6-dichlorophenylmethylidene)-3-oxo-4-phenylthiobutanoate (prepn. given) and di-Me 3-aminoglutaconate were heated at 120.degree. for 3 h to give 40.6% di-Me 4-(2,6-dichlorophenyl)-2-methoxycarbonylmethyl-6-phenylthiomethyl-1,4-dihydropyridine-3,5-dicarboxylate. This was oxidized to the phenylsulfinyl deriv., which was partially sapond. followed by amidation with 1-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)piperazine using N-1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH2Cl2 to give di-Me 4-(2,6-dichlorophenyl)-2-[4-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-1-piperazinyl]carbonylmethyl-6-phenylsulfinylmethyl-1,4-dihydropyridine-3,5-dicarboxylate dihydrochloride. I inhibited [3H]bradykinin binding to ileum prepns. with IC50 = 0.2-10 nM.

IT **195503-93-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(piperazinylcarbonylmethyl)-3,5-bis(methoxycarbonyl)-1,4-dihydropyridines as bradykinin antagonists)

RN 195503-93-6 HCAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,6-dichlorophenyl)-1,4-dihydro-2-[2-[4-

(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-1-piperazinyl]-2-oxoethyl]-6-[(phenylsulfinyl)methyl]-, dimethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L5 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:404662 HCAPLUS
DOCUMENT NUMBER: 125:86676
TITLE: Preparation of 2-(piperazinocarbonylmethyl)-1,4-dihydropyridinedicarboxylates as bradykinin antagonists
INVENTOR(S): Ito, Fumitaka; Kondo, Hiroshi; Hageman, David L.; Lowe, John A., III; Nakanishi, Susumu; Vinick, Fredric J.
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

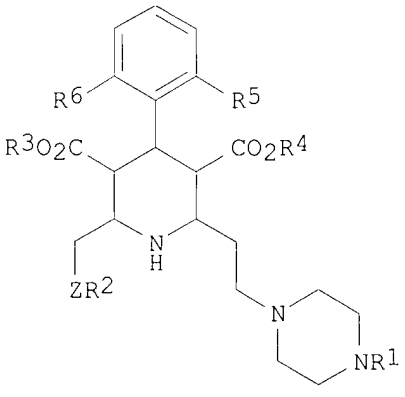
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9606083	A1	19960229	WO 1994-JP1398	19940824
W: JP				
CA 2198231	AA	19960229	CA 1995-2198231	19950526
WO 9606082	A1	19960229	WO 1995-IB400	19950526
W: AU, CA, CN, FI, JP, KR, MX, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9524166	A1	19960314	AU 1995-24166	19950526
AU 689587	B2	19980402		
EP 777653	A1	19970611	EP 1995-918113	19950526
EP 777653	B1	20010822		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1156449	A	19970806	CN 1995-194758	19950526

JP 09510992	T2	19971104	JP 1996-507896	19950526
JP 3001978	B2	20000124		
AT 204567	E	20010915	AT 1995-918113	19950526
ES 2159637	T3	20011016	ES 1995-918113	19950526
IL 114968	A1	19990817	IL 1995-114968	19950817
FI 9700745	A	19970221	FI 1997-745	19970221
NO 9700806	A	19970421	NO 1997-806	19970221
US 5859011	A	19990112	US 1997-793561	19970701

PRIORITY APPLN. INFO.: WO 1994-JP1398 A 19940824
WO 1995-IB400 W 19950526

OTHER SOURCE(S): MARPAT 125:86676

GI



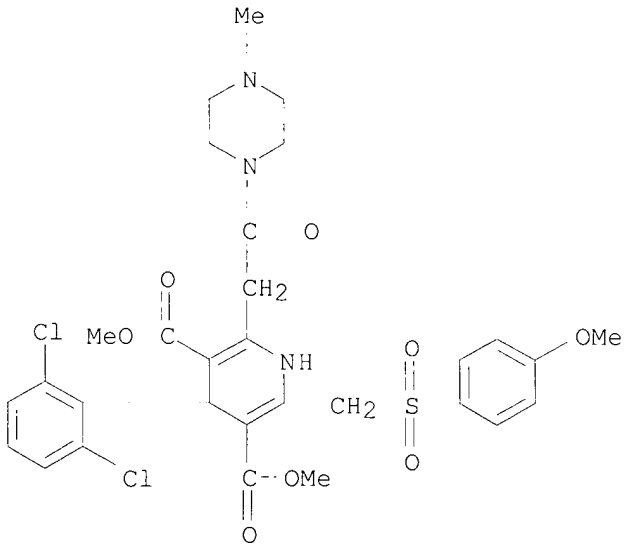
I

AB Title compds. [I; R1 = H, (un)substituted (cyclo)alkyl, azacycloalkyl, etc.; R2 = H, alkyl, Ph, etc.; R3,R4 = alkyl; R5,R6 = halo; Z = bond, CH2, O, CO, etc.] were prepd. Thus, 2,6-Cl2C6H3CH:C(CO2Me)COCH2CH2Ph was cyclocondensed with MeO2CCH:C(NH2)CO2Me (prepn. each given) and the product amidated by N-methylpiperazine to give I (R1 = R3 = R4 = Me, R2 = Ph, R5 = R6 = Cl, Z = CH2). I had IC50 of 5nM to 1.mu.M against bradykinin binding at ileum tissue prepn. in vitro.

IT **178376-99-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(piperazinocarbonylmethyl)-1,4-dihydropyridinedicarboxylates as bradykinin antagonists)

RN 178376-99-3 HCAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,6-dichlorophenyl)-1,4-dihydro-2-[[(4-methoxyphenyl)sulfonyl]methyl]-6-[2-(4-methyl-1-piperazinyl)-2-oxoethyl]-, dimethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:185276 HCAPLUS
DOCUMENT NUMBER: 114:185276
TITLE: A process for preparation of enantiomerically pure polysubstituted 1,4-dihydropyridines
INVENTOR(S): Gandolfi, Carmelo A.; Frigerio, Marco; Riva, Carlo; Zaliani, Andrea; Long, Giorgio; Di Domenico, Roberto
PATENT ASSIGNEE(S): Boehringer Biochemia Robin S.p.A., Italy
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

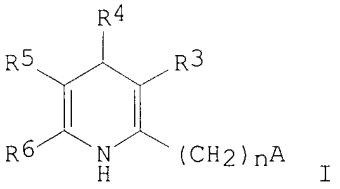
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 383320	A1	19900822	EP 1990-102951	19900215
R: GR				
CA 2047741	AA	19900818	CA 1990-2047741	19900215
WO 9009376	A1	19900823	WO 1990-EP243	19900215
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9050904	A1	19900905	AU 1990-50904	19900215
AU 630928	B2	19921112		
EP 458823	A1	19911204	EP 1990-903015	19900215
EP 458823	B1	19931013		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04505610	T2	19921001	JP 1990-503175	19900215
HU 62270	A2	19930428	HU 1990-1962	19900215
AT 95813	E	19931015	AT 1990-903015	19900215
ES 2060144	T3	19941116	ES 1990-903015	19900215

RU 2069658	C1	19961127	RU 1990-5001680	19900215
US 5245039	A	19930914	US 1991-743415	19910814
NO 9103188	A	19910815	NO 1991-3188	19910815
NO 177186	B	19950424		
NO 177186	C	19950802		
FI 95371	B	19951013	FI 1991-3861	19910815
FI 95371	C	19960125		

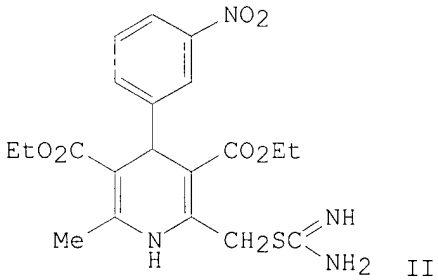
PRIORITY APPLN. INFO.: IT 1989-19477 19890217
EP 1990-903015 19900215
WO 1990-EP243 19900215

OTHER SOURCE(S): MARPAT 114:185276

GI



I



II

AB The title compds. [I; R3 = (esterified) CO2H; R4 = (substituted) Ph, .beta.-naphthyl, heterocyclyl, etc.; R5 = cyano, NO2, (esterified) CO2H, etc.; R6 = C1-6 alkyl halo-C1-6-alkyl, HOC, CN, etc.; A = H, isothioureido, SH, sulfonium salt, etc.; n = 1-4], useful as cardiovascular agents (no data), are prepd. A mixt. of 6 g (.+-.)-I (A = Cl, R3 = R5 = CO2Et, R4 = 3-O2NC6H4, R6 = Me, n = 1) and 1.2 g thiourea in EtOH was refluxed to give 4.8 g isothiuronium salt (.+-.)-II.HCl, which was treated with NaHCO2 in EtOAc-H2O to give free (.+-.)II. Optical resoln. of (.+-.)-II with O,O'-dibenzoyl-D-tartaric acid gave (+)-II of >98% optical purity. Also prepd. were over 100 chiral I.

IT **131767-73-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiovascular agent)

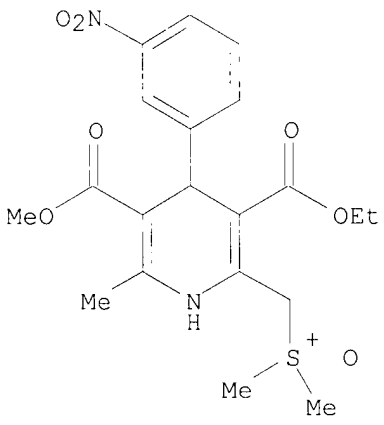
RN 131767-73-2 HCAPLUS

CN Sulfoxonium, [[3-(ethoxycarbonyl)-1,4-dihydro-5-(methoxycarbonyl)-6-methyl-4-(3-nitrophenyl)-2-pyridinyl]methyl]dimethyl-, (+)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

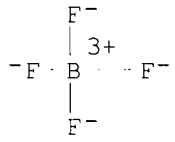
CRN 131767-72-1
CMF C20 H25 N2 O7 S
CDES 3:(+)

Rotation (+).



CM 2

CRN 14874-70-5
CMF B F4
CCI CCS

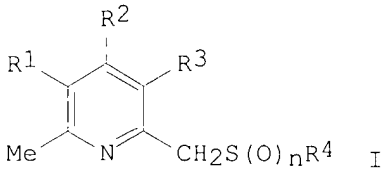


L5 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:515098 HCAPLUS
DOCUMENT NUMBER: 113:115098
TITLE: Preparation of 2-thiomethylpyridine-3,5-dicarboxylates as antihypertensives
INVENTOR(S): Gandolfi, Carmelo A.; Frigerio, Marco; Tofanetti, Odoardo; Tognella, Sergio
PATENT ASSIGNEE(S): Boehringer Biochemia Robin S.p.A., Italy
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4918087	A	19900417	US 1988-167164	19880311
JP 63243073	A2	19881007	JP 1988-58141	19880311

PRIORITY APPLN. INFO.: IT 1987-19700 19870313

OTHER SOURCE(S): MARPAT 113:115098
GI

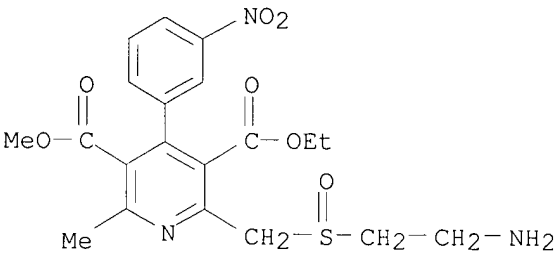


I

AB The title compds. (I; R1, R3 = CO2R5; R2 = m-O2NC6H4, m-NCC6H4; R4 = (CH2)mCH2NR6R7; R5 = C1-6 alkyl; R6, R7 = H; 1 of R6, R7 = H, the other is C1-6 alkyl, PhCH2) and their pharmaceutically acceptable salts, antihypertensives without Ca2+-antagonizing activity, were prepd. by aromatization of their 1,4-dihydropyridine analogs or by thiolation of 2-halomethylpyridine precursors. Thus, a soln. of 8.5 g 2-chloromethyl-3-carboethoxy-5-carbomethoxy-4-(m-nitrophenyl)-6-methylpyridine in ethane was added dropwise to a soln. of 1.6 g H2NCH2CH2SH.HCl and 7.3 mL 20% NaOH in 50 mL EtOH at -10.degree.. After 15 min the soln. was warmed up to room temp. to give 9 g I (R1 = CO2Me, R2 = m-O2NC6H4, R3 = CO2Et, R4 = CH2CH2NH2, n = 0) which was converted to its fumarate salt. In spontaneously hypertensive rats, the latter (form unspecified) at 3 mg/kg orally reduced mean blood pressure by 10-20%.

IT **118587-28-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antihypertensive)

RN 118587-28-3 HCAPLUS
CN 3,5-Pyridinedicarboxylic acid, 2-[[(2-aminoethyl)sulfinyl]methyl]-6-methyl-4-(3-nitrophenyl)-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:610906 HCAPLUS
DOCUMENT NUMBER: 109:210906
TITLE: 2-Methylthiomethyldihydropyridines, a process for

their preparation, and pharmaceutical compositions containing them useful as cardiovascular agents

INVENTOR(S): Frigerio, Marco; Zaliani, Andrea; Riva, Carlo; Gandolfi, Carmelo A.; Tofanetti, Odoardo; Tognella, Sergio

PATENT ASSIGNEE(S): Boehringer Biochemia Robin S.p.A., Italy

SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW

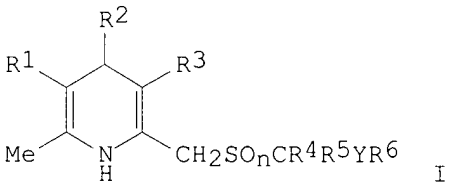
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 271059	A1	19880615	EP 1987-118145	19871208
EP 271059	B1	19931020		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 96152	E	19931115	AT 1987-118145	19871208
AU 8782294	A1	19880616	AU 1987-82294	19871210
AU 611872	B2	19910627		
JP 63170360	A2	19880714	JP 1987-313104	19871210
ZA 8709301	A	19880831	ZA 1987-9301	19871210
US 4971984	A	19901120	US 1987-131393	19871210

PRIORITY APPLN. INFO.: IT 1986-22648 19861211
EP 1987-118145 19871208

OTHER SOURCE(S): MARPAT 109:210906

GI



I

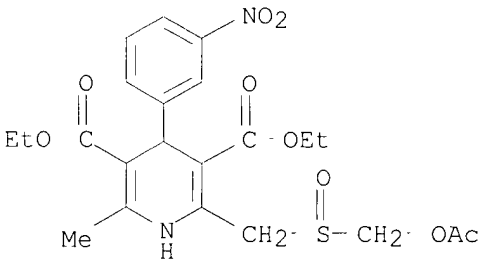
AB Title compds. I [R1 = Ac, Bz, cyano, O2N, R7O2C; R7 = (un)substituted C1-6 alkyl, C3-6 alkenyl, (un)substituted Ph, R8R9NCO; R8, R9 = H, C1-6 alkyl, PhCH2, aryl; R2 = (un)substituted Ph, F5C6, .alpha.-, .beta.-naphthyl, 5-6 membered heterocyclyl; R3 = R7O2C; R4, R5 = H, C1-6 alkyl, (un)substituted Ph, R7O2C, C3-7 cycloalkyl, 5-6 membered heterocyclyl; R4R5C = 5-6 membered ring; Y = O, S, R10N:; R6, R10 = H, (un)substituted C1-6 alkyl, C1-12 alkanoyl, (un)substituted aroyl or heteroaryl, (un)substituted aryl or 5-6 membered heteroaryl contg. O, S, N; R6R10N = pyrrolyl, piperidyl, morpholyl, piperazinyl, succinimidyl, phthaloyl; n = 0-2] useful as cardiovascular agents (no data) were prepd. 3,5-Dicarboethoxy-6-methyl-2-(mercaptomethyl)-4-(m-nitrophenyl)-1,4-dihydropyridine, and sulfosalicylic

acid in MeC(OMe)2Me were stirred for 2 h at room temp. to give I (R1 = EtO2C; R2 = 4-(O2N)C6H4; R3 = CO2Et; R4, R5, R6 = Me; Y = O; n = 0).

IT **116508-72-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiovascular agent)

RN 116508-72-6 HCAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[[[(acetyloxy)methyl]sulfinyl]methyl]-1,4-dihydro-6-methyl-4-(3-nitrophenyl)-, diethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1988:21721 HCAPLUS

DOCUMENT NUMBER: 108:21721

TITLE: Preparation of dihydro(thiomethyl)pyridines as antihypertensives

INVENTOR(S): Gandolfi, A. Carmelo; Frigerio, Marco; Spinelli, Silvano; Tofanetti, Odoardo; Tognella, Sergio

PATENT ASSIGNEE(S): Boehringer Biochemia Robin S.p.A., Italy

SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8700836	A1	19870212	WO 1986-EP445	19860729
W: AU, BB, BG, BR, DK, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU				
RW: AT, BE, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 8662245	A1	19870305	AU 1986-62245	19860729
AU 593278	B2	19900208		
EP 233228	A1	19870826	EP 1986-904813	19860729
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 63500379	T2	19880212	JP 1986-504448	19860729
HU 44499	A2	19880328	HU 1986-4090	19860729
HU 199796	B	19900328		
IL 79572	A1	19910630	IL 1986-79572	19860730
CN 86106242	A	19870513	CN 1986-106242	19860805

DD	263053	A5	19881221	DD 1986-293434	19860805
ES	2009136	A6	19890901	ES 1986-871	19860805
ZA	8605915	A	19870429	ZA 1986-5915	19860806
DK	8701754	A	19870406	DK 1987-1754	19870406
FI	8701492	A	19870406	FI 1987-1492	19870406
FI	92194	B	19940630		
FI	92194	C	19941010		
NO	8701445	A	19870605	NO 1987-1445	19870406
NO	173544	B	19930920		
NO	173544	C	19931229		
WO	8800187	A1	19880114	WO 1987-EP335	19870625

W: AU, BB, BG, BR, DK, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US

RW: AT, BE, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG

EP	254064	A1	19880127	EP 1987-109116	19870625
EP	254064	B1	19920617		

R: ES, GR

AU	8776969	A1	19880129	AU 1987-76969	19870625
AU	603595	B2	19901122		
EP	312541	A1	19890426	EP 1987-904520	19870625
EP	312541	B1	19920826		

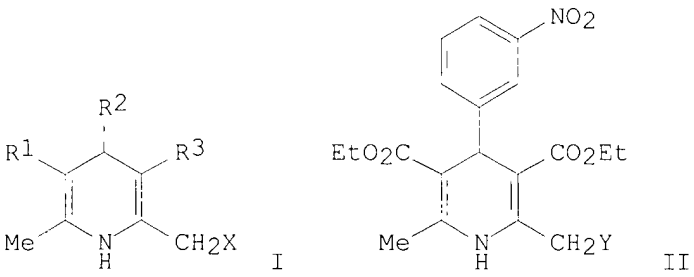
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE

JP	01503065	T2	19891019	JP 1987-504184	19870625
JP	08032686	B4	19960329		
HU	49572	A2	19891030	HU 1987-3824	19870625
HU	201305	B	19901028		
AT	79869	E	19920915	AT 1987-904520	19870625
ES	2037029	T3	19930616	ES 1987-109116	19870625
CA	1322753	A1	19931005	CA 1987-540555	19870625
ZA	8704636	A	19880330	ZA 1987-4636	19870626
PL	156170	B1	19920228	PL 1987-266481	19870627
DK	8800995	A	19880225	DK 1988-995	19880225
DK	164051	B	19920504		
DK	164051	C	19920928		
NO	8800865	A	19880422	NO 1988-865	19880226
NO	175365	B	19940627		
NO	175365	C	19941005		
FI	8805930	A	19881221	FI 1988-5930	19881221
FI	91149	B	19940215		
FI	91149	C	19940525		
SU	1816282	A3	19930515	SU 1988-4613190	19881226
US	4999362	A	19910312	US 1989-333080	19890404
US	5021436	A	19910604	US 1989-413896	19890928
US	5047414	A	19910910	US 1990-638131	19901221

PRIORITY APPLN. INFO.:

IT	1985-21876	19850806
IT	1986-20965	19860627
IT	1986-20966	19860627
US	1986-889379	19860725
WO	1986-EP445	19860729
EP	1987-904520	19870625
WO	1987-EP335	19870625
US	1989-299992	19890221

GI



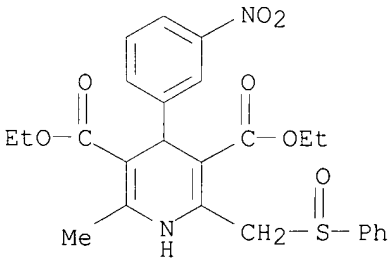
I II

AB The title compds. [I; R1 = acyl, cyano, NO2, alkoxycarbonyl, H2NCO; R2 = (un)substituted aryl, heteroaryl; R3 = CO2R4; R4 = H, alkenyl, (un)substituted alkyl, aryl, aralkyl; X = S(O)nR5; R5 = H, acyl, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, alkoxyalkyl, alkylthioalkyl, thiuronium; n = 0-2] were prepd. as antihypertensives. Dihydropyridinedicarboxylate II (Y = Cl) (6 g) was refluxed with 1.2 g (H2N)2CS in EtOH to give 4.8 g dihydropyridinyisothiuronium salt II.HCl [Y = SC(:NH)NH2] (III). III had an IC50 of 2.2 .times. 10-7 M for inhibition of aortal-strip contraction and in rats 3.1 mg III/kg orally reduced blood pressure 45 mmHg.

IT **110645-87-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antihypertensive)

RN 110645-87-9 HCAPLUS

CN 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2-methyl-4-(3-nitrophenyl)-6-[(phenylsulfinyl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2002 ACS

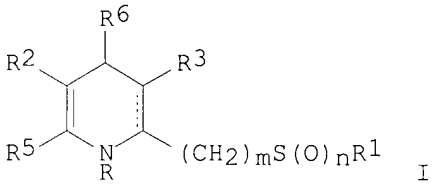
ACCESSION NUMBER:	1987:176173 HCAPLUS
DOCUMENT NUMBER:	106:176173
TITLE:	1,4-Dihydropyridine derivatives useful in the treatment of cardiovascular disorders
INVENTOR(S):	Sircar, Ila
PATENT ASSIGNEE(S):	Warner-Lambert Co., USA
SOURCE:	Eur. Pat. Appl., 80 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 206747	A2	19861230	EP 1986-304679	19860617
EP 206747	A3	19870819		
EP 206747	B1	19901031		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ZA 8603914	A	19880127	ZA 1986-3914	19860526
FI 8602343	A	19861218	FI 1986-2343	19860602
CA 1267416	A1	19900403	CA 1986-510582	19860602
AU 8658428	A1	19861224	AU 1986-58428	19860604
AU 601946	B2	19900927		
DK 8602811	A	19861218	DK 1986-2811	19860616
NO 8602390	A	19861218	NO 1986-2390	19860616
JP 62036357	A2	19870217	JP 1986-138417	19860616
ES 556082	A1	19871201	ES 1986-556082	19860616
CN 86104284	A	19870401	CN 1986-104284	19860617
AT 57915	E	19901115	AT 1986-304679	19860617

PRIORITY APPLN. INFO.: US 1985-745965 19850617
US 1986-852731 19860421
EP 1986-304679 19860617

GI

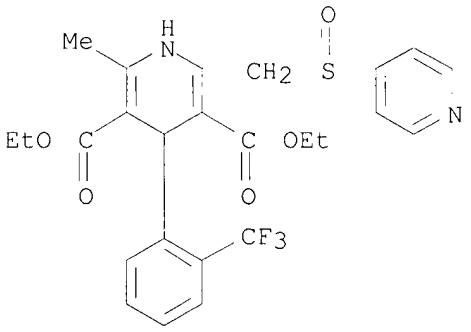


I

AB The title compds. [I; R = H, C1-4 alkyl, optionally substituted with R7R8N, (un)substituted aralkyl; R1, R5, R6 = H, (un)substituted C1-4 alkyl; R2, R3 = H, C1-4 alkyl, cyano, NO2, CO2R4; R2R5 = atoms to complete a 5- or 6-membered carbocycle; R4 = H, (un)substituted C1-4 alkyl; R7, R8 = H, alkyl; R7R8N = 5- or 6-membered ring, m = 1-6; n = 0-2] and their salts, having Ca antagonist properties (no data) and inotropic properties, were prepd. I can be formulated into pharmaceuticals (no data). Thus, 2-F3CC6H4CHO, H2NCMe:CHCN, and PhSCH2COCH2CO2Et in EtOH were refluxed to give I (R = H, R1 = Ph, R3 = CO2Et, R5 = Me, R6 = 2-F3CC6H4, m = 1, n = 0, double bond present) which was oxidized to give I (n = 1, other variables the same). Myocardial inotropic activity in isolated guinea pig atria was demonstrated with selected I.

IT **107975-19-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as cardiovascular agent)
RN 107975-19-9 HCAPLUS
CN 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2-methyl-6-[(4-pyridinylsulfinyl)methyl]-4-[2-(trifluoromethyl)phenyl]-, diethyl ester (9CI) (CA INDEX NAME)



=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	51.74	192.43

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.96	-4.96

FILE 'CAOLD' ENTERED AT 05:49:51 ON 25 MAR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> d his

(FILE 'HOME' ENTERED AT 05:43:37 ON 25 MAR 2002)

```
     FILE 'REGISTRY' ENTERED AT 05:43:49 ON 25 MAR 2002
L1                STRUCTURE UPLOADED
L2             12 S L1
L3            185 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 05:45:00 ON 25 MAR 2002
L4             16 S L3
L5              8 S L3/THU
L6              0 S L5 AND BULLINGTON, J?/AU

     FILE 'CAOLD' ENTERED AT 05:49:51 ON 25 MAR 2002

=> s l3

L7              0 L3

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                0.32     192.75
```